STN- Structure Search 12-1-05

10/523,911

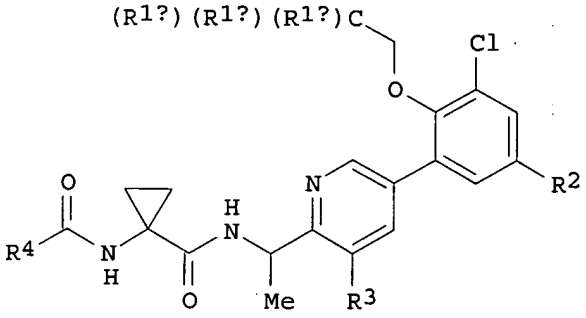
=> d ibib abs hitstr 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1004708 CAPLUS
DOCUMENT NUMBER: 143:306182
TITLE: Preparation of 1-aminocyclopropane-1-carboxamide derivatives as bradykinin B1 antagonists
INVENTOR(S): Bock, Mark G.; Feng, Dong-Mei; Kuduk, Scott
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085198	A2	20050915	WO 2005-US6230	20050225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-549379P P 20040302
GI



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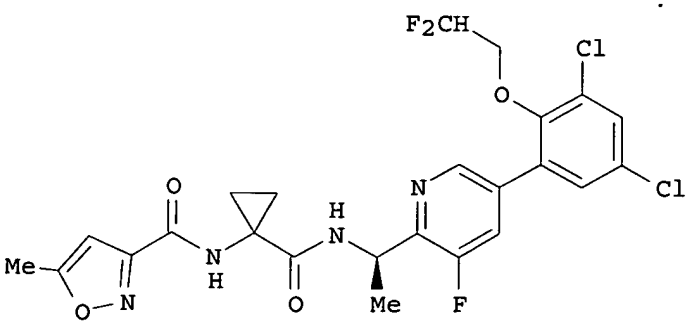
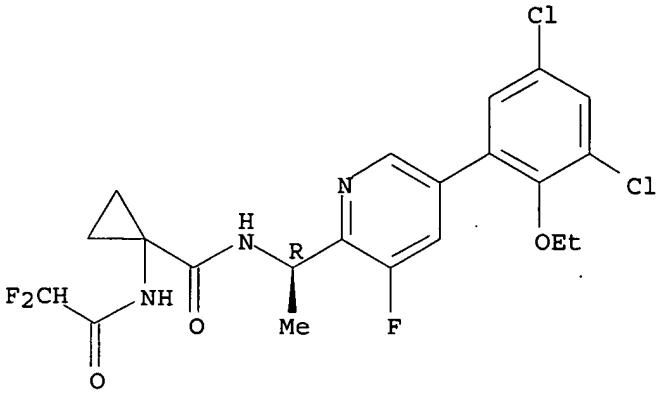


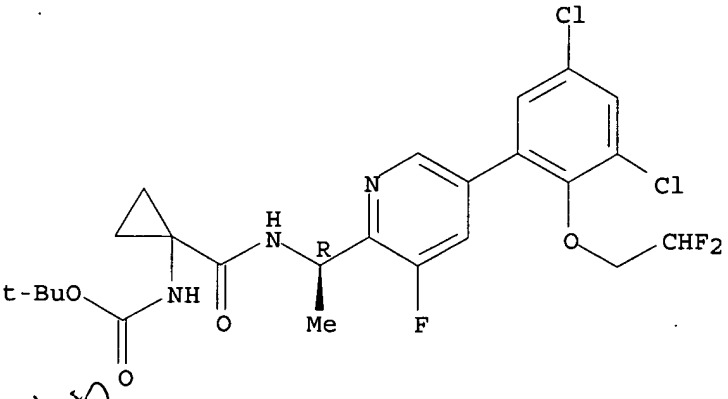
II

AB Title compds. I [wherein R1a, R1b, R1c = H or F; R2 = H or Cl; R3 = Cl or



IT **864642-89-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocyclopropanecarboxamide derivs. as bradykinin B1 antagonists)
RN 864642-89-7 CAPLUS
CN Carbamic acid, [1-[[[(1R)-1-[5-[3,5-dichloro-2-(2,2-difluoroethoxy)phenyl]-3-fluoro-2-pyridinyl]ethyl]amino]carbonyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

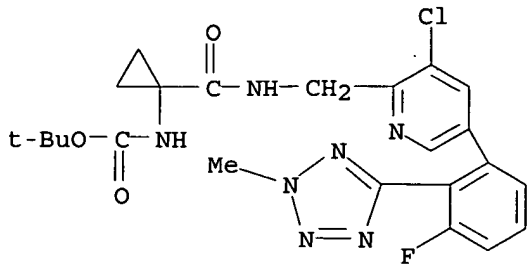
Absolute stereochemistry.



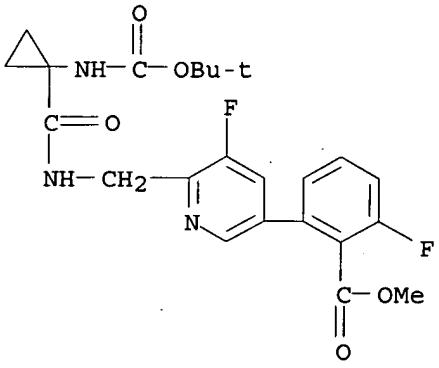
Inventor(s)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203618 CAPLUS
DOCUMENT NUMBER: 140:253570
TITLE: Preparation of N-biarylmethylaminocycloalkanecarboxamide as bradykinin B1 antagonists
INVENTOR(S): Kuduk, Scott D.; Wood, Michael R.; Bock, Mark G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019868	A2	20040311	WO 2003-US26628	20030825



RN 669066-38-0 CAPLUS
CN Benzoic acid, 2-[6-[[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopropyl]carbonyl]amino]methyl]-5-fluoro-3-pyridinyl]-6-fluoro-, methyl ester (9CI) (CA INDEX NAME)



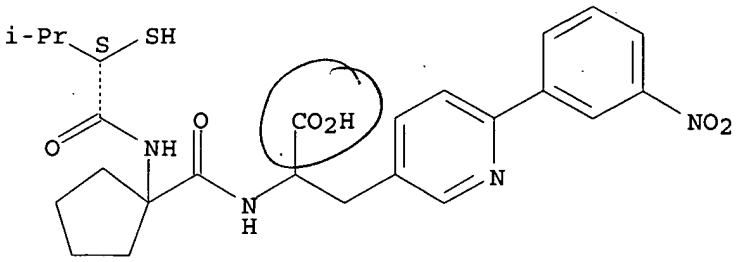
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:767278 CAPLUS
DOCUMENT NUMBER: 138:331190
TITLE: Synthesis and biological activity of potent heterocyclic thiol-based inhibitors of endothelin-converting enzyme-1
AUTHOR(S): Firooznia, Fariborz; Gude, Candido; Chan, Kenneth; Tan, Jenny; Fink, Cynthia A.; Savage, Paula; Beil, Michael E.; Bruseo, Charles W.; Trapani, Angelo J.; Jeng, Arco Y.
CORPORATE SOURCE: Metabolic and Cardiovascular Diseases, Novartis Institute for Biomedical Research, Summit, NJ, 07901, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3059-3062
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:331190

AB Directed screening of metalloprotease inhibitors identified CGS 30084 (1) as a potent inhibitor of endothelin-converting enzyme-1 (ECE-1) in vitro (IC50=77 nM). Herein we report the syntheses and biol. activities of analogs containing modified biphenyl moieties, bearing heterocyclic proximal rings. Compound 20, the thioacetate Et ester prodrug derivative of compound 19a, was found to be an orally active and potent inhibitor of ECE-1 activity in rats.

IT **248924-62-1P 248924-67-6P 248924-90-5P 248924-95-0P 516491-47-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. activity of CGS 30084 analogs as inhibitors of endothelin-converting enzyme-1)

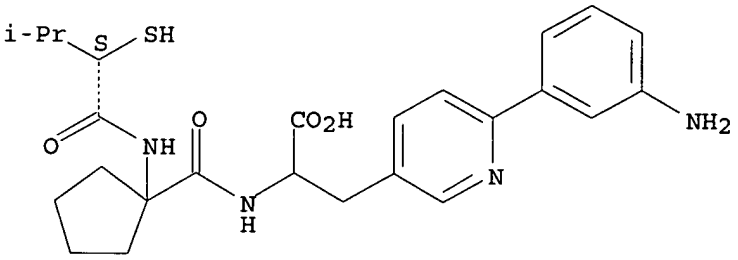
RN 248924-62-1 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



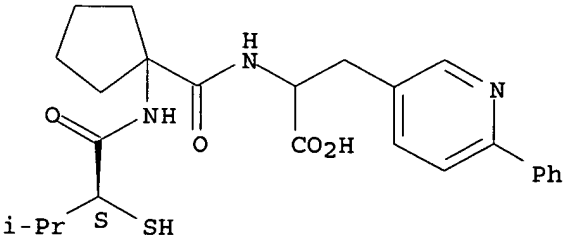
RN 248924-67-6 CAPLUS
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248924-90-5 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl- (9CI) (CA INDEX NAME)

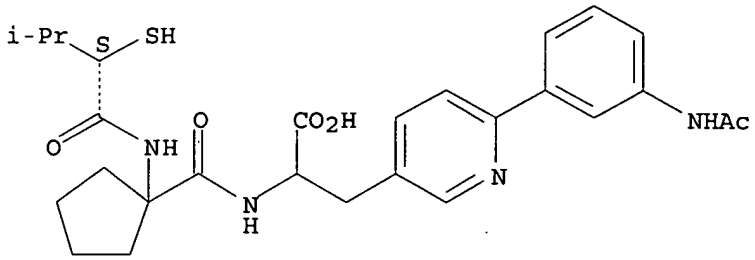
Absolute stereochemistry.



RN 248924-95-0 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(2S)-2-

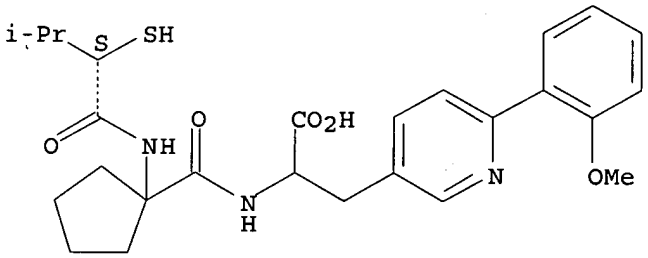
mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



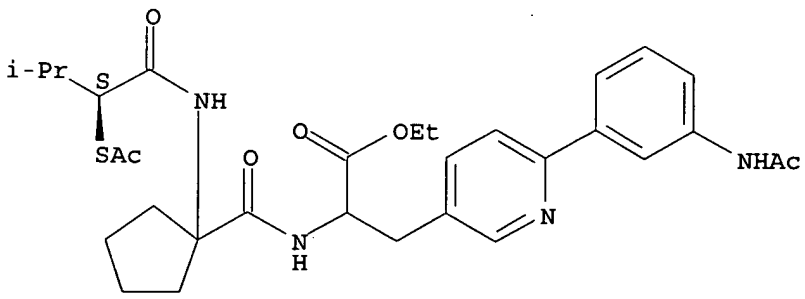
RN 516491-47-7 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



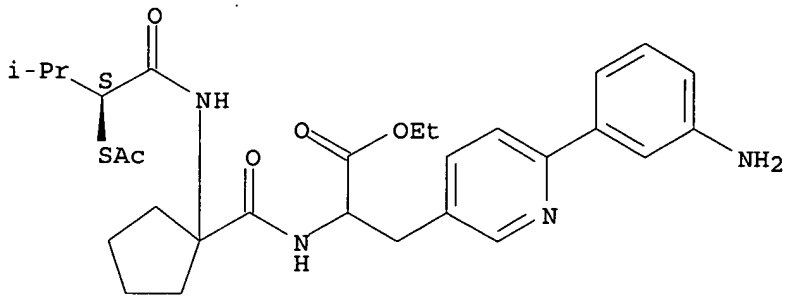
IT **248924-64-3P 248924-65-4P 248924-66-5P**
248924-94-9P 516491-41-1P 516491-42-2P
516491-43-3P 516491-56-8P 516491-57-9P
516491-58-0P 516491-66-0P 516491-67-1P
516491-68-2P 516491-69-3P 516491-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biol. activity of CGS 30084 analogs as inhibitors of endothelin-converting enzyme-1)
RN 248924-64-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



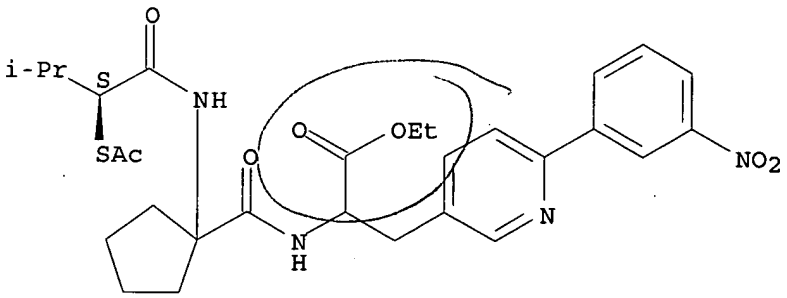
RN 248924-65-4 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

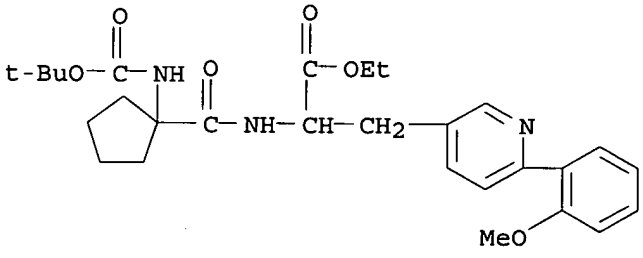


RN 248924-66-5 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

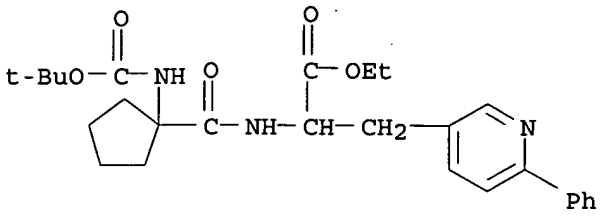
Absolute stereochemistry.



RN 248924-94-9 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

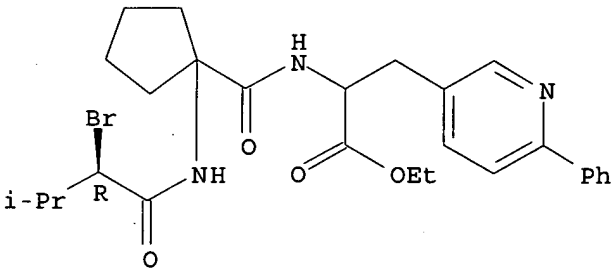


RN 516491-41-1 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



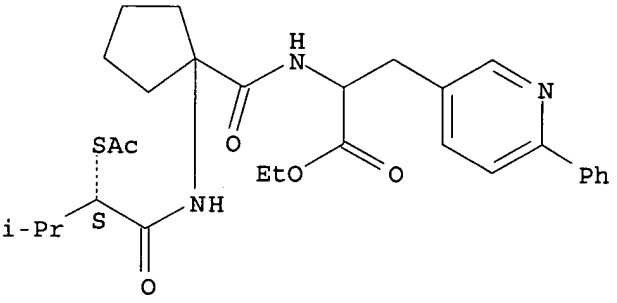
RN 516491-42-2 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

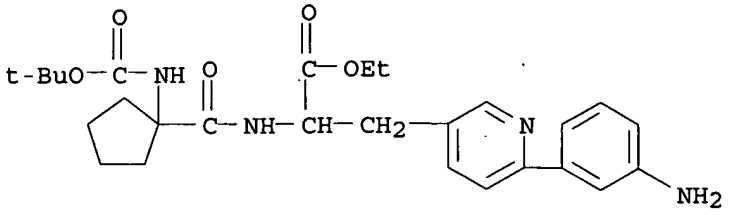


RN 516491-43-3 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

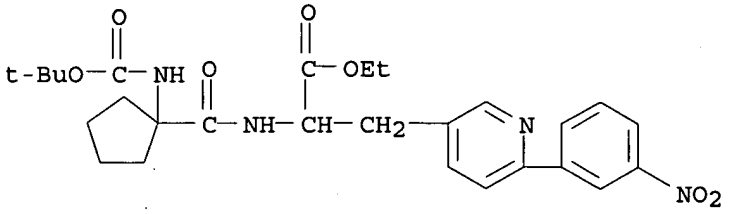
Absolute stereochemistry.



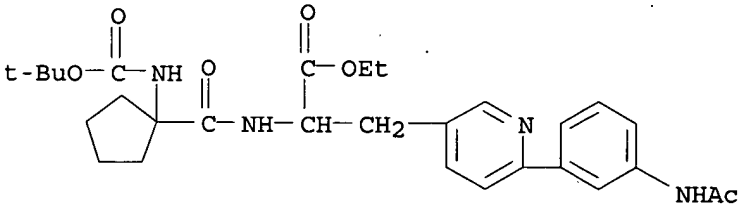
RN 516491-56-8 CAPLUS
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 516491-57-9 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

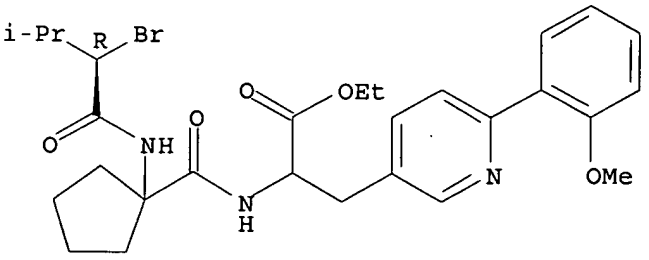


RN 516491-58-0 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 516491-66-0 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

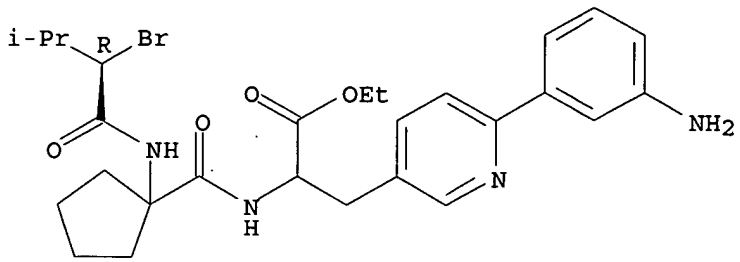
Absolute stereochemistry.



RN 516491-67-1 CAPLUS
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI)

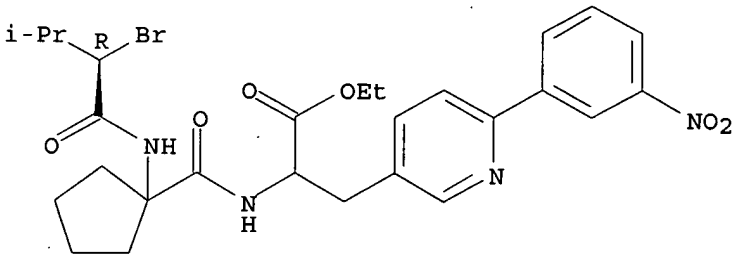
(CA INDEX NAME)

Absolute stereochemistry.



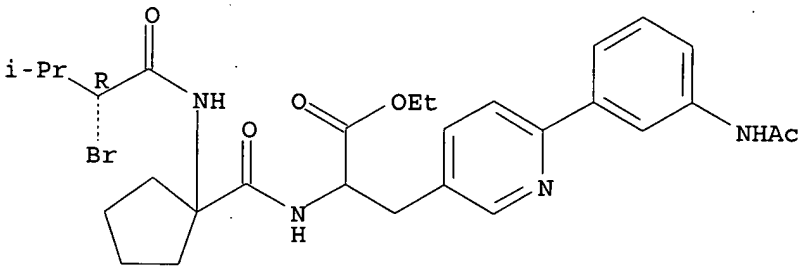
RN 516491-68-2 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



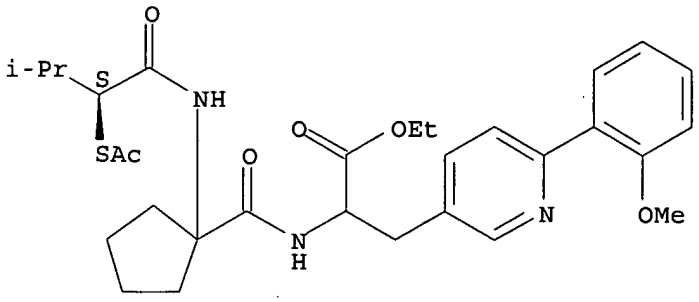
RN 516491-69-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(2R)-2-bromo-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 516491-75-1 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:708784 CAPLUS
DOCUMENT NUMBER: 131:322913
TITLE: Preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin-converting enzyme
INVENTOR(S): Fink, Cynthia Anne; Firoozina, Fariborz
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Erfindungen Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955723	A1	19991104	WO 1999-EP2694	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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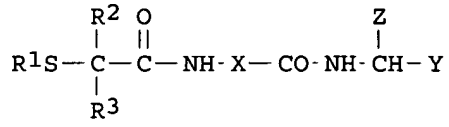
JP 3646062	B2	20050511		
AT 288922	E	20050215	AT 1999-923433	19990421
PT 1080104	T	20050630	PT 1999-923433	19990421
ES 2237917	T3	20050801	ES 1999-923433	19990421
ZA 2000004679	A	20020306	ZA 2000-4679	20000906
US 6426354	B1	20020730	US 2000-690061	20001016
US 2003018057	A1	20030123	US 2002-171321	20020613
US 6689801	B2	20040210		

PRIORITY APPLN. INFO.:		
US 1998-172258P	P	19980423
US 1998-64979	A	19980423
WO 1999-EP2694	W	19990421

US 2000-690061 A3 20001016

OTHER SOURCE(S): MARPAT 131:322913

GI



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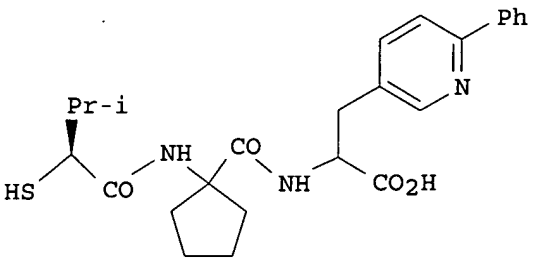


II

AB Heteroaryl substituted amino acid thiols I wherein X = CA; Z = (CH2)m-Het-Ar; Het = monocyclic heterocyclic aryl; Ar represents carbocyclic or heterocyclic aryl; R1 = H, acyl; R2 = H, alkyl, carbocyclic or heterocyclic aryl, cycloalkyl, biaryl; R3 = H or alkyl; or R2 and R3 together with the carbon atom to which they are attached represent cycloalkylidene or benzo-fused cycloalkylidene; R4 = H, alkyl, aryl; R5 = alkyl, aryl; A together with the carbon atom to which it is attached forms a ring and represents 3 to 10 membered cycloalkylidene or 5 to 10 membered cycloalkenylidene radical which may be substituted by alkyl or aryl or may be fused to a saturated or unsatd. carbocyclic 5-7-membered ring; or A together with the carbon to which it is attached represents 5 to 6 membered oxacycloalkylidene, thiacycloalkylidene or azacycloalkylidene; m is zero or 1-3; Y represents 5-tetrazolyl, carboxyl or carboxyl derivatized in form of a pharmaceutically acceptable ester; a disulfide derivative derived from a said compound wherein R1 is hydrogen, were prepared as

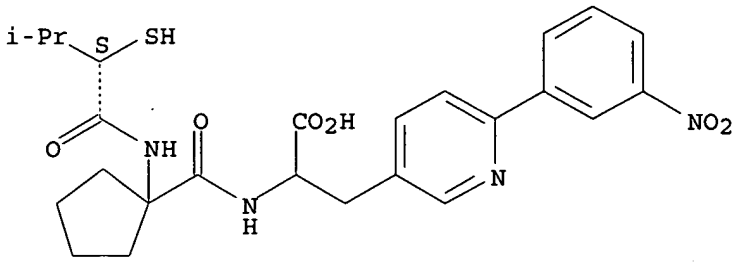
as inhibitors of endothelin-converting enzyme. Thus, amino acid II was prepared as inhibitor of endothelin-converting enzyme (no data).

IT **248924-62-1P 248924-67-6P 248924-68-7P**
248924-90-5P 248924-93-8P 248924-95-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)

RN 248924-62-1 CAPLUS

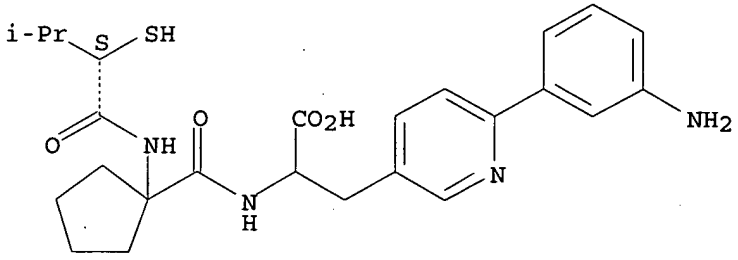
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



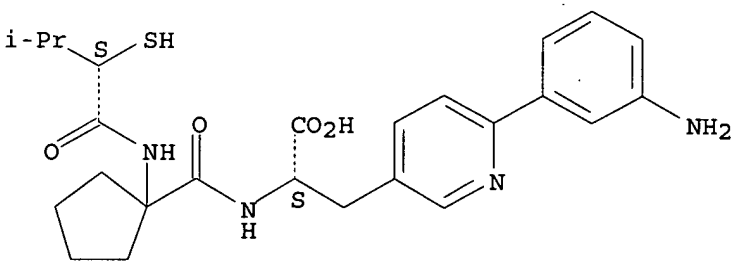
RN 248924-67-6 CAPLUS
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248924-68-7 CAPLUS
CN 3-Pyridinepropanoic acid, 6-(3-aminophenyl)-α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, hydrochloride, (αS)- (9CI) (CA INDEX NAME)

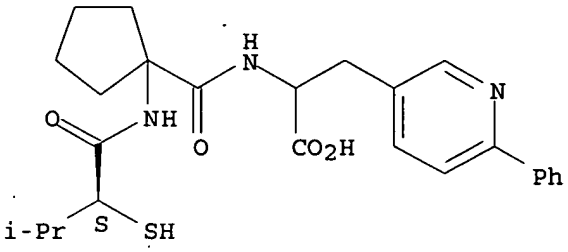
Absolute stereochemistry.



●x HCl

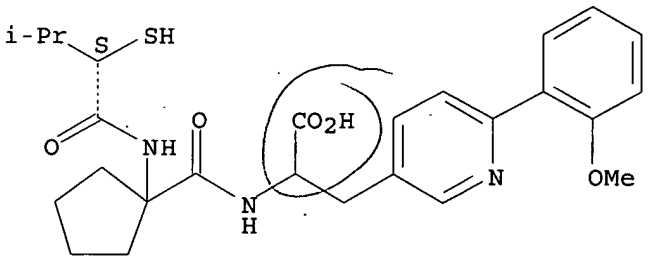
RN 248924-90-5 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 248924-93-8 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

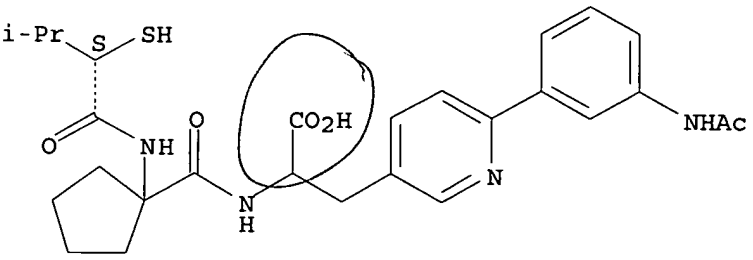
Absolute stereochemistry.



● HCl

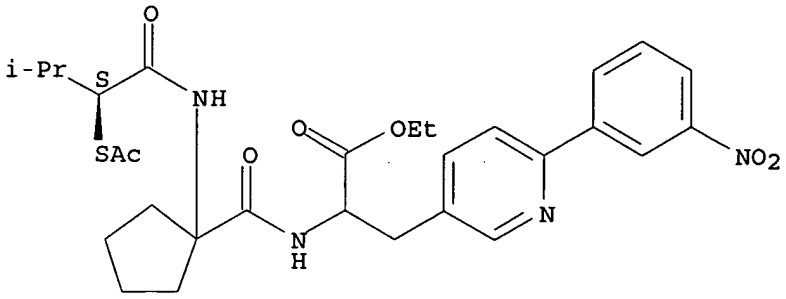
RN 248924-95-0 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(2S)-2-mercapto-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **248924-66-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)
RN 248924-66-5 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

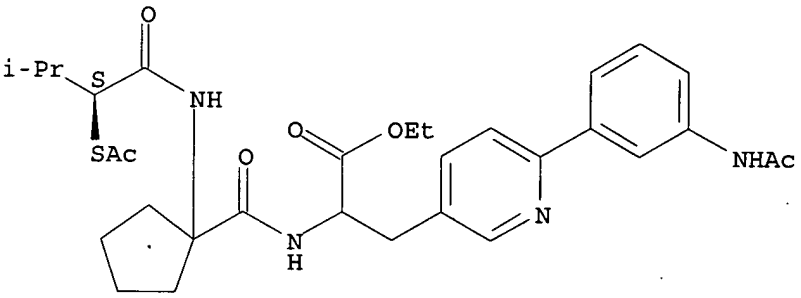
Absolute stereochemistry.



IT **248924-64-3P 248924-65-4P 248924-92-7P 248924-94-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaryl substituted amino acid thiols as inhibitors of endothelin converting enzyme)

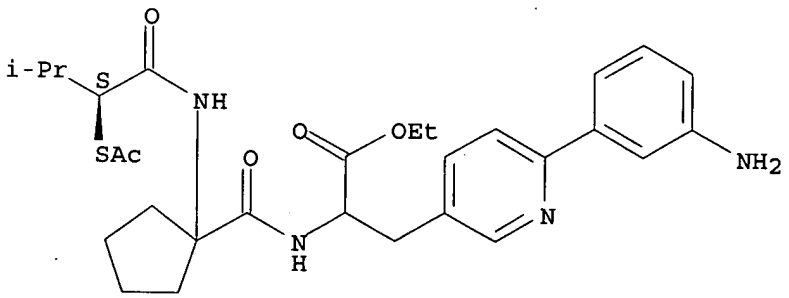
RN 248924-64-3 CAPLUS
CN 3-Pyridinepropanoic acid, 6-[3-(acetylamino)phenyl]-α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



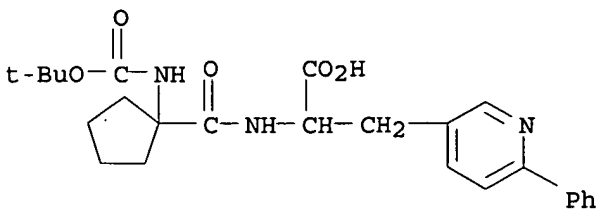
RN 248924-65-4 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(2S)-2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]amino]-6-(3-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

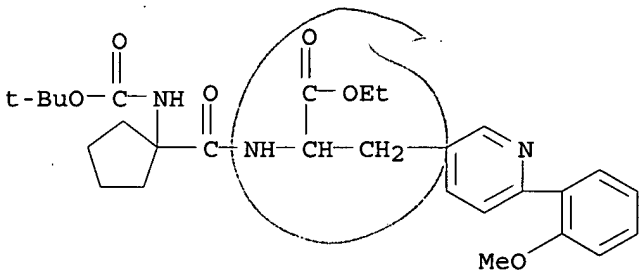


RN 248924-92-7 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-phenyl- (9CI)

(CA INDEX NAME)



RN 248924-94-9 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[1-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]amino]-6-(2-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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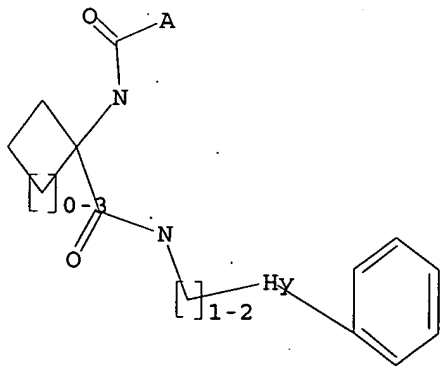
L3 138 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:35:36 ON 01 DEC 2005
L4 4 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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